#13

Docket Number	CASE 4-20624/A/PCT

FILING BY "EXPRESS MAIL" UNDER 37 CFR 1.10

EL820014748US	May 15, 2001
Express Mail Label Number	Date of Deposit

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

IN RE APPLICATION OF

ZIMMERMANN ET AL.

APPLICATION NO: 09/051,827

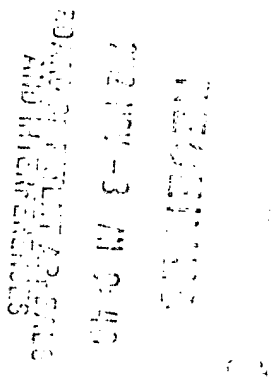
FILED: MAY 1, 1998

Art Unit: 1624

Examiner: M. BERCH

FOR: PURINE DERIVATIVES AND PROCESSES FOR THEIR PREPARATION

Assistant Commissioner for Patents
Washington, D.C. 20231



APPEAL BRIEF

Sir:

This appeal is from the decision of the Primary Examiner dated September 13, 2000 finally rejecting claims 2-4, 6, 14, and 16-19. (A clean rewritten and renumbered version of these claims, as Claims 20-28, respectively, has been presented in a Rule 116 amendment being filed concurrently with this brief. The Appendix of the Claims carries both numbers designating the Claims on appeal.)

Appellants respectfully request reconsideration and reversal of the rejection. The Notice of Appeal was received February 15, 2001. The time for filing the brief, with a one-month extension, is May 15, 2001. An appropriate extension of time request for one (1) month, until May 15, 2001, is included in this paper.

1. Real Party in Interest:

The real party in interest is Novartis AG, Basel Switzerland.

2. Related Appeals and Interferences:

No other appeals or interferences are known which are related to the pending appeal, and further, no other related appeals or interferences are known which will directly affect, be directly affected by or have a bearing on the decision of the pending appeal to the pending appeal.

3. Status of the Claims:

The claims originally filed were Claims 1-16. Claims 17-19 were added. Claim 1 has been cancelled. Claim 15 is allowed. Claims 2-4, 6, 14, and 16-19, rewritten as Claims 20-28, respectively, are in this Appeal. An amendment after Final rejection under Rule 116 is being filed concurrently with this brief, for the purpose of providing a clean copy of the claims on appeal, and to rewrite the claims as Claims 20-28, respectively.

Claims 20 (2), 21(3), 22(4), 23(6), 25(16) and 26(17) are compound claims, Claims 20 (2) and 24 (16) being independent; Claims 21, 22, 23, and 25 (3, 4, 6 and 17) are dependent on Claim 20 (2). Claim 24 (14) is an independent process claim for the preparation of compounds, said compounds having the same scope as in Claim 2. Claims 27 (18) and 28(19) are composition and method of treatment claims, respectively.

4. Status of the Amendments:

The specification containing 1-16 claims and a preliminary amendment which amended Claims 3, 8, 9, 10, 11, 12 and 13 were filed together on May 1, 1998 as USSN 09/051,827, the application on appeal. A second preliminary amendment was filed November 8, 1999, amending Claims 1, 2, 5, 6, 10, 11, 12, 13, and 14. In response to the first Office Action of March 29, 2000 and a supplemental Office Action of May 2, 2000, Appellants submitted arguments, canceling Claim 1, 5, and 7-13 adding Claims 17-19 and amending Claims 2, 3, 4, 5, 6, 14, 15, and 16, on August 2, 2000. The Final Rejection of September 13, 2000 followed, which remains outstanding. An Amendment after Final Rejection is being filed concurrently with this brief for the purpose of providing a rewritten

clean copy of the claims on appeal. The rewritten clean copy of the claims avoids the problems, noted by the Examiner in the Final Rejection, of the simultaneous deletion brackets and brackets to be printed in the same claim.

5. Summary of the Invention:

The invention relates to compounds that are 2-amino-6-anilino purine derivatives, processes for making them, a pharmaceutical composition containing them and a method for the treatment of tumors using the compounds. The tumors susceptible to treatment are those which are responsive to the inhibition of $p34^{cdc2}$/cyclin B^{cdc13} kinase.

6. Issues:

The major outstanding issues to be resolved in this appeal are primarily those of Section 112, 1st and 2nd paragraphs.

The problems raised under the 1st paragraph of Section 112 relate to Claims 2-4, 6, 14, 16-19 (all the claims in the case, excepting Claim 15, which has been allowed). The issue as stated by the Examiner is that the specification, while enabling for most choices, "does not reasonably provide enablement for substituted carbocyclic rings and substituted heterocycles", and therefore does not enable the skilled person in the art to use the invention, Final Rejection dated 9/13/00, p.2, 3rd paragraph.

Claims 2, 3, 14, 16, and 18-19 are rejected under Section 112, 1st paragraph, as containing subject matter which was not described in the specification, referring to the use in the definition of "mercapto" rather than the "thio" originally employed.

The Examiner has also rejected Claims 2, 16, and 19 under Section 112, 2nd paragraph, as being indefinite by failing to particularly point out and distinctly claim the subject matter of the invention. The Examiner has questioned the scope of Claim 19, stating that the category of tumors to be treated is not well defined.

Claims 2, 6, 14, 18, and 19 are rejected under 102(a) as anticipated by Mackman, US 5,866,702. Claim 4 is rejected under 103(a) as obvious over Mackman, ibid. The Examiner has explained that these art-based rejections relate to the issue of enablement under 1st paragraph of Section 112, above, and once the issue of enablement is solved, the art based rejection will disappear as well.

7. Grouping of the appealed Claims:

Claims 20-26, on the one hand, and Claims 27 and 28, on the other hand, are separately patentable and do not stand or fall together. The compounds and the process for making the compounds are in Claims 20-26. The pharmaceutical composition and method of treatment Claims 27 and 28 can be considered separately.

8. Arguments

A. The Law Relating to Enablement under Section 112, first paragraph (the Rejection of Claims 2-4, 6, 14, 16-19)

It is axiomatic that the first paragraph of §112 requires "nothing more than objective enablement". How such a teaching is set forth, either by the use of illustrative examples or by broad terminology, is irrelevant, In re Marzocchi, 169 USPQ 367, 369 (CCPA 1971).

This principal is developed further in the MPEP, Section 2163.02, ". . . the fundamental factual inquiry is whether a claim defines an invention that is clearly conveyed to those skilled in the art at the time the application was filed. The subject matter of the claim need not be described literally (i.e., using the same terms or in haec verba) in order for the disclosure to satisfy the description requirement."

In the instant application on appeal, the Examiner has held that the scope of the claim is not within the broadest genus of the structure of Formula I. The specification does support the broad genus of the claims, however. It is apparent from a reading of the specification that the scope of the claim is clearly within the subject matter of the application. Appellants have amended the specification to conform the broad definition of the structural formula with the teaching of the rest of the original disclosure, and otherwise made the necessary amendments to moot this rejection.

Another issue relates to the inclusion of the term "mercapto", the use of which in Claim 2 had been objected to by the Examiner, Final Rejection, p 3, 2nd full paragraph. Appellants have retained the term "mercapto" in the claim language of Claim 20, corresponding to Claim 2. The Examiner had said that the specification did not provide support for this term. Appellants respectfully point out that the term "mercapto" is supported by the specification at p. 29, the first full paragraph, referring to the term "etherified

mercapto group", and that this point has been made in the concurrently filed Rule 116 Amendment as well as in this brief.

Reconsideration of the Examiner 's position and reversal of it on appeal is respectfully requested.

B. The Law Relating to Enablement under Section 112, second paragraph (the Rejection of Claims 2, 16-19)

The Examiner has stated that the scope of Claim 19 is unclear, commenting that the category of tumors is not well defined, and that one of ordinary skill in the art is forced to unduly experiment to determine its scope. Appellants respectfully disagree that this position accurately applies to the claims on appeal. Both the specification and claims make it clear that the tumors are those which are responsive to the inhibition of $p34^{cdc2}$/cyclin B^{cdc13} kinase. Appellants have defined the tumors by this property and have provided a teaching of how to identify such tumors, as well as an assay to measure the response of such tumors to treatment, see the specification, page 17, last paragraph, to p. 20, first paragraph. This is sufficient teaching to satisfy the law of enablement.

Recent case law has tended to accept a limitation such as "an effective amount" as being definite when read in light of the supporting disclosure and in the absence of any prior art which would give rise to uncertainty about the scope of the claim. In Ex parte Skuballa, 12 USPQ2d 1570 (Bd. Pat. App. & Inter. 1989), the Board held that a pharmaceutical composition claim which recited an "effective amount of a compound of claim 1" without stating the function to be achieved was definite, particularly when read in light of the supporting disclosure which provided guidelines as to the intended utilities and how the uses could be effected. In the instant appeal, the Claims 2, and 16-19 satisfy the requirements of Section 112, 2^{nd} paragraph. The examiner is incorrect in his rejection and should be overruled.

C. The Rejection under Sections 102/103

Claims 2, 6, 14, 18, and 19 are rejected under 102(a) as anticipated by Mackman, US 5,866,702. Claim 4 is rejected under 103(a) as obvious over Mackman, ibid. This grounds of rejection has been stated by the Examiner as ancillary to the issue of

grounds of rejection has been stated by the Examiner as ancillary to the issue of enablement, under Section 112, 1st paragraph. As noted above, Appellants have presented arguments and reasons why the rejection under Section 112 is erroneous, and should be reversed. The Examiner has admitted that this art rejection will be rendered irrelevant if the Section 112 issues are resolved in favor of Appellants. As the relief sought is the reversal of the rejection of the claims under Section 112, the art rejections will be mooted by the grant of such relief.

CONCLUSION

In conclusion, Appellants have provided arguments why the invention being claimed is sufficient under Section 112, both 1st and 2nd paragraphs, and is in compliance with all requirements of that statute. Appellants' analysis demonstrates that the application is sufficient and adequate, and that the Examiner's position is in error. Appellants also have demonstrated that the scope of the claims sought are adequately supported and enabled by the specification. Appellants respectfully request that the outstanding rejection under 35 USC § 112 be withdrawn, and the claims allowed to issue as US Letters Patent.

Appellants respectfully request that the outstanding rejections under 35 USC §§ 112, 102 and 103 be withdrawn, and the claims allowed to issue as US Letters Patent. Reversal of the examiner's decision is sought as relief from the Board of Appeals.

10. REQUEST TO CHARGE DEPOSIT ACCOUNT

Please charge the fee due for the filing of this brief to Deposit Account No. 19-0134 in the name of Novartis Corporation in the amount of $310. The Commissioner is hereby authorized to charge any additional fees under 37 CFR § 1.17, which may be required, or credit any overpayment, to Account No. 19-0134.

11. PETITION FOR EXTENSION OF TIME

The Notice of Appeal of was received February 15, 2001, and the time for filing the brief was set to expire on April 15, 2001. A one-month extension, to May 15, 2001 is hereby requested pursuant to 37 CFR §1.136(a).

Consideration of the issues raised on this Appeal, and reversal of the outstanding rejections is respectfully requested.

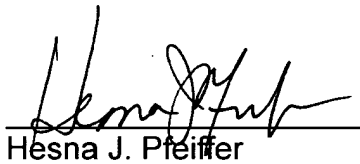
An appendix is included which contains a copy of the claims involved in the appeal.

Should the Office feel that telephonic communication with the Appellants' representative would further the prosecution of the instant application, they are invited to telephone the undersigned.

Please charge Deposit Account No. 19-0134 in the name of Novartis Corporation in the amount of $110 for payment of the extension fee. An additional copy of this paper is here enclosed. The Commissioner is hereby authorized to charge any additional fees under 37 CFR §1.17 that may be required, or credit any overpayment, to Account No. 19-0134 in the name of Novartis Corporation.

Two additional copies of this sheet are enclosed.

Respectfully submitted,



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Encl: This sheet in triplicate
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Date: May 15, 2001

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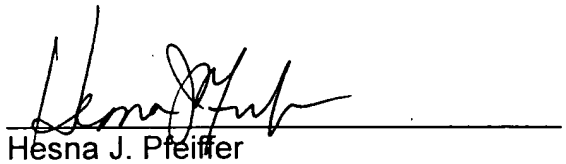

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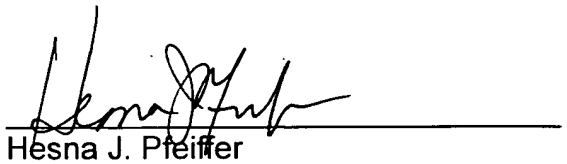

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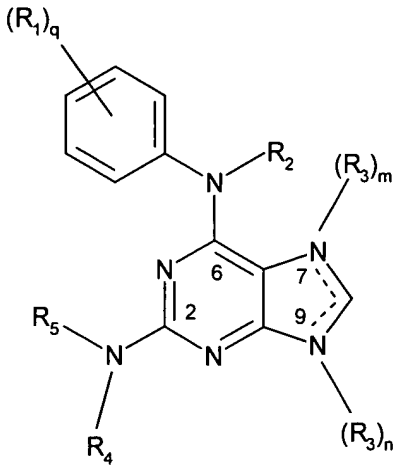
11. Appendix A:

The Appealed Claims:

Note: The claims on appeal have been renumbered to correspond with the Amendment under Rule 116 being filed concurrently with this appeal brief.

CLAIMS

Claim 20. (Claim 2) A compound of the formula I



(I)

in which q is 1-5,

R_1 is halogen; lower alkyl; hydroxyl; lower alkanoyloxy; lower alkoxy which is unsubstituted or substituted by hydroxyl, lower alkoxy or carboxyl; a radical of the formula $-O(-CH_2-CH_2-O)_t-R_6$, in which t is 2-5 and R_6 is hydrogen or lower alkyl; carboxyl; lower alkoxycarbonyl; piperazin-1-yl-carbonyl; carbamoyl; N-lower alkyl-carbamoyl which is unsubstituted in the lower alkyl moiety or substituted by hydroxyl or amino; N,N-di-lower alkyl-carbamoyl; cyano; nitro; amino; lower alkanoylamino; lower alkylamino; N,N-di-lower alkylamino; aminosulfonyl or trifluoromethyl, where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

m and n are each 0 or 1, where m is 0 if n is 1 and m is 1 if n is 0,

dashed lines represent a single bond which is located between N-7 and C-8 if m is 0 and located between C-8 and N-9 if m is 1,

R_3 is lower alkyl or phenyl which are unsubstituted or in each case substituted by hydroxyl, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy; an acyl radical of the part formula Z-C(=W)-, in which W is oxygen, sulfur or imino and Z is R^o, R^o-O- or an amino group of the formula $R_7(R_8)N$-, in which R^o in each case is C_1-C_4alkyl, hydroxy-C_2-C_{14}alkyl, cyano-C_1-C_4alkyl, carboxy-C_1-C_4alkyl, C_1-C_4alkoxycarbonyl-C_1-C_4alkyl, C_3-C_7alkenyl or phenyl and R_7 and R_8 independently of one another are each hydrogen, lower alkyl, ω-amino-lower alkyl, lower alkylsulfonyl or phenyl;

an aliphatic hydrocarbon radical having not more than 29 C atoms, which is substituted by halogen, amino, lower alkylamino, ω-amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, mercapto, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycylamino, alanylamino, phenylalanylamino, prolylamino, valylamino, leucylamino, isoleucylamino, serylamino, threonylamino, cysteinylamino, methionylamino, tyrosylamino, tryptophanylamino, arginylamino, histidylamino, lysylamino, glutamylamino, glutaminylamino, asparagylamino, asparaginylamino or phenylglycylamino; benzyl;

2-phenyl-ethyl; 3-aminomethyl-benzyl; (1-hydroxy-cyclohex-1-yl)-methyl; (2-amino-3,5,5-trimethyl-cyclopentyl)-methyl; 1-[N-(1-carboxy-2-phenyl-ethyl)-carbamoyl]-2-carbamoyl-eth-1-yl; 1-carbamoyl-1-phenyl-methyl; 1-carbamoyl-2-(4-hydroxy-phenyl)-eth-1-yl; 1-carbamoyl-2-phenyl-eth-1-yl; 2-amino-1,2-diphenyl-eth-1-yl; 2-benzyloxycarbonyl-1-carbamoyl-eth-1-yl; 3-benzyloxycarbonyl-1-carbamoyl-prop-1-yl; 1-adamantyl-2-amino-prop-1-yl; 1-adamantyl-1-amino-prop-2-yl; (2-furyl)-methyl; (2-tetrahydrofuryl)-methyl; 2-pyrid-2-yl-ethyl; 2-piperidino-ethyl; 2-(morpholin-4-yl)-ethyl; 2-(3-indolyl)-ethyl; 2-(4-imidazolyl)-ethyl; 1-carbamoyl-2-(β-indolyl)-eth-1-yl; 1-carbamoyl-2-imidazol-4-yl-eth-1-yl; 1-carbamoyl-2-indol-3-yl-eth-1-yl; 3-aminomethyl-oxetan-3-yl-methyl; 1-(acetoxy-imino)-1-(4-amino-2-oxa-1,3-diazol-5-yl)-methyl; 2-amino-cyclohex-1-yl; 3-amino-cyclohex-1-yl; 2-aminomethyl-3,3,5-trimethyl-cyclopent-1-yl; 3-amino-adamantan-1-yl; 2-carbamoyl-bicyclo[2.2.1]hept-5-en-3-yl; 2-carbamoyl-cyclohex-1-yl; 9-amino-spiro[4.4]non-1-yl; 5-amino-2-oxa-1,3-diazol-4-yl; 4-amino-thien-3-yl; 3-carbamoyl-5-(3-[2,4-dichloro-phenyl]-1-oxo-prop-2-en-1-yl)-1,2-thiazol-4-yl; 3-carbamoyl-5-(3-[4-trifluoro-phenyl]-1-oxo-prop-2-en-1-yl)-1,2-thiazol-4-yl; 4-amino-2-(4-carboxy-butyl)-tetrahydrothiophen-3-yl; 3-amino-2-(4-carboxy-butyl)-tetrahydrothiophen-4-yl; [1,2,5]oxadiazolo[3,4-b](6-amino-pyrazin-5-yl); 2,5'-diacetyl-3-amino-thieno[2,3-b]thiophen-4'-yl or 3-amino-2,5'-dipivaloyl-thieno[2,3-b]thiophen-4'-yl, and

R_5 independently of R_4, is as defined above for R_4, with the exception of hydrogen and an aliphatic hydrocarbon radical having not more than 29C atoms, which is substituted by hydroxyl, or

b) R_4 and R_5 together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxy-

methyl-butane-1,4-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl or 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl,

or a salt thereof.

Claim 21. (Claim 3) A compound of the formula I according to Claim 20, in which

q is 1-3 and

R_4 is hydrogen,

or a salt thereof.

Claim 22. (Claim 4) A compound of the formula I according to claim 20, in which

q is 1,

R_1 is chlorine which is in the 3 position,

R_2 is hydrogen,

m is 0 and

n is 1,

R_3 is ethyl and

a) R_4 is hydrogen, and

R_5 is amino; phenylamino; lower alkylamino; hydroxyl; phenoxy; loweralkoxy; an acyl radical of the part formula Z-C(=W)-, in which W is oxygen, sulfur or imino and Z is R^o, R^o-O- or an amino group of the formula $R_7(R_8)N$-, in which R^o in each case is C_1-C_4alkyl, hydroxylC_2-C_{14}alkyl, cyano-C_1-C_4alkyl, carboxy-C_1-C_4alkyl, C_1-C_4alkoxycarbonyl-C_1-C_4alkyl, C_3-C_7alkenyl or phenyl and R_7 and R_8 independently of one another are each hydrogen, lower alkyl, ω-amino-lower alkyl, lower alkylsulfonyl or phenyl;

2-carbamoyl-1-carboxy-eth-1-yl, 3-amino-2-hydroxy-prop-1-yl, 3-amino-prop-1-yl, 3-amino-2,2-dimethyl-prop-1-yl, 3-amino-2-oxo-prop-1-yl, 3-amino-1-carboxy-prop-1-yl, 3-amino-3-carboxy-prop-1-yl, 1,1-dicarbamoyl-methyl, 2-carbamoyl-eth-1-yl, 3-amino-1,3-di-hydroxyl-imino-prop-1-yl, 2-carbamoyl-1-hydroxylimino-eth-1-yl, 1-hydroxylimino-2-thiocarbamoyl-eth-1-yl, 3-amino-3-hydroxylimino-1-thio-prop-1-yl, 3-amino-pent-1-yl,1-amino-pent-3-yl,1-amidino-1-carbamoyl-methyl, 4-amino-1,1,1,3,5,5,5-heptafluoro-pent-2-yl, 3-amino-1,3-dicarboxy-prop-1-yl, 2-carbamoyl-1-ethoxycarbonyl-eth-1-yl, 2-amino-1,2-dithio-eth-1-yl, 2-amino-1,2-dioxo-eth-1-yl, 2-amino-2-methyl-prop-1-yl, 1-amino-2-methyl-prop-2-yl, 2-amino-prop-1-yl, 1-amino-prop-2-yl, 2-amino-eth-1-yl, 2-amino-2-carboxy-eth-1-yl, 2-amino-1-carboxy-eth-1-yl, carbamoyl-methyl, 1-carbamoyl-3-methyl-but-1-yl, 2-amino-1,2-dicarboxy-eth-1-yl, 1-carbamoyl-3-methylthio-prop-1-yl, 1-carbamoyl-2-methyl-prop-1-yl,1-carbamoyl-eth-1-yl, 1-carbamoyl-1-cyano-methyl, 1-carbamoyl-3-carboxy-3-fluoro-prop-1-yl, 1-carbamoyl-2-carboxy-eth-1-yl, 2-amino-4-carboxy-but-1-yl, 1-amino-4-carboxy-but-2-yl, 1-carbamoyl-4-guanidino-but-1-yl, 1-carbamoyl-5-amino-pent-1-yl, 1-carbamoyl-2-hydroxy-prop-1-yl, 1-carbamoyl-2-methyl-but-1-yl, 1-carbamoyl-2-hydroxy-eth-1-yl, 1,3-dicarbamoyl-prop-1-yl, 2-amino-but-1-yl, 1-amino-but-2-yl, 1-carbamoyl-pent-1-yl, 1-carbamoyl-but-1-y, benzyl, 2-phenyl-ethyl, 3-aminomentyl-benzyl, (1-hydroxy-cyclohex-1-yl-methyl, (2-amino-3,5,5-trimethyl-cyclopentyl)-methyl, 1-[N-(1-carboxy-2-phenyl-ethyl)-carbamoyl]-2-carbamoyl-eth-1-yl, 1-carbamoyl-1-phenyl-methyl, 1-carbamoyl-2-(4-hydroxy-phenyl)-eth-1-yl, 1-carbamoyl-2-phenyl-eth-1-yl, 2-amino-1,2-diphenyl-eth-1-yl, 2-benzyloxycarbonyl-1-carbamoyl-eth-1-yl, 3-benzyloxycarbonyl-1-carbamoyl-prop-1-yl, 1-adamantyl-2-amino-prop-1-yl, 1-adamantyl-1-amino-prop-2-yl, (2-furyl)-methyl, (2-tetrahydrofuryl)-methyl, 2-pyrid-2-yl-ethyl, 2-piperidino-ethyl, 2-(morpholin-4-yl)-ethyl, 2-(3-indolyl)-ethyl, 2-(4-imidazolyl)-ethyl, 1-carbamoyl-2-(β-indolyl)-

eth-1-yl, 1-carbamoyl-2-imidazol-4-yl-eth-1-yl, 1-carbamoyl-2-indol-3-yl-eth-1-yl, 3-aminomethyl-oxetan-3-yl-methyl, 1-(acetoxy-imino)-1-(4-amino-2-oxa-1,3-diazol-5-yl)-methyl, 2-amino-cyclohex-1-yl, 3-amino-cyclohex-1-yl, 2-aminomethyl-3,3,5-trimethyl-cyclopent-1-yl, 3-amino-adamantan-1-yl, 2-carbamoyl-bicyclo[2.2.1]hept-5-en-3-yl, 2-carbamoyl-cyclohex-1-yl, 9-amino-spiro-[4.4]non-1-yl,

5-amino-2-oxa-1,3-diazol-4-yl, 4-amino-thien-3-yl, 3-carbamoyl-5-(3-[2,4-dichloro-phenyl]-1-oxo-prop-2-en-1-yl)-1,2-thiazol-4-yl, 3-carbamoyl-5-(3-[4-trifluoro-phenyl]-1-oxo-prop-2-en-1-yl)-1,2-thiazol-4-yl, 4-amino-2-(4-carboxy-butyl)-tetrahydrothiophen-3-yl, 3-amino-2-(4-carboxy-butyl)-tetrahydrothiophen-4-yl, [1,2,5]oxadiazolo[3,4-b](6-amino-pyrazin-5-yl), 2,5'-diacetyl-3-amino-thieno[2,3-b]thiophen-4'-yl or 3-amino-2,5'-dipivaloyl-thieno[2,3-b]thiophen-4'-yl, or

b) R_4 and R_5 together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxy-methyl-butane-1,4-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl or 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl,

or a salt thereof.

Claim 23. (Claim 6) A compound of the formula I according to claim 20, in which

q is 1-3,

R_1 is halogen; lower alkyl; lower alkoxy; N-lower alkyl-carbamoyl which is substituted in the lower alkyl moiety by hydroxyl; or trifluoromethyl, where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen,

m and n are each 0 or 1, where m is 0 if n is 1 and m is 1 if n is 0,

dashed lines represent a single bond which is located between N-7 and C-8 if m is 0 and located between C-8 and N-9 if m is 1,

R_3 is lower alkyl which is unsubstituted or substituted by hydroxyl and

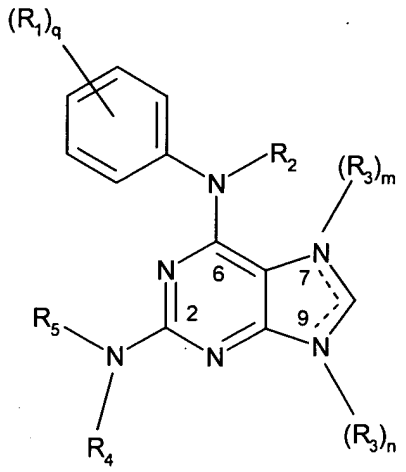
a) R_4 is hydrogen or hydroxy-lower alkyl and

R_5 is 2-amino-cyclohexyl; or lower alkyl which is substituted by amino, lower alkylamino, ω-amino-lower alkylamino, lower alkoxy, phenyl, 3-aminomethyl-phenyl, 2-furyl, 2-tetrahydrofuryl, 2-pyridyl, piperidino, morpholin-4-yl, 3-indolyl, mercapto, 1-hydroxy-cyclohex-1-yl or by 4-imidazolyl; or

b) R_4 and R_5 together are an alkylene radical which has not more than 10 C atoms and is unsubstituted or substituted by hydroxyl or amino, and in which 1 C atom can be replaced by nitrogen,

or a pharmaceutically acceptable salt thereof.

Claim 24. (Claim 14) A process for the preparation of a compound of the formula I



(I)

in which q is 1-5,

R_1 is halogen, lower alkyl, hydroxyl or lower alkanoyloxy; lower alkoxy which is unsubstituted or substituted by hydroxyl, lower alkoxy or carboxyl; a radical of the formula $-O(-CH_2-CH_2-O)_t-R_6$, in which t is 2-5 and R_6 is hydrogen or lower alkyl; carboxyl, lower alkoxycarbonyl, piperazin-1-yl-carbonyl or carbamoyl; N-lower alkyl-carbamoyl which is unsubstituted in the lower alkyl moiety or substituted by hydroxyl or amino; N,N-di lower alkyl-carbamoyl, cyano, nitro, amino, lower alkanoylamino, lower alkylamino, N,N-di-lower alkylamino, aminosulfonyl or trifluoromethyl, where, if several radicals R_1 are present in the molecule, these can be identical or different,

R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

m and n are each 0 or 1, where m is 0 if n is 1 and m is 1 if n is 0,

R_3 is lower alkyl or phenyl which are unsubstituted or in each case substituted by hydroxyl, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than

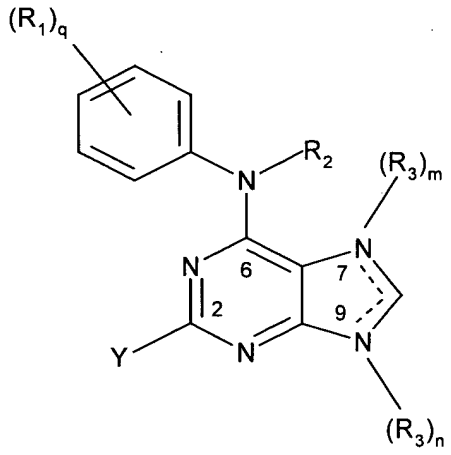
29 C atoms, a carbocyclic radical having not more than 29 C atoms or a heterocyclic radical having not more than 20 C atoms and not more than 9 heteroatoms and

R_5 is amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 2-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a carbocyclic radical having not more than 29 C atoms or a heterocyclic radical having not more than 20 C atoms and not more than 9 heteroatoms, or

b) R_4 and R_5 together are a substituted or unsubstituted alkylene or alkenylene radical having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen,

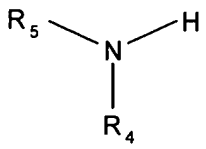
R_1, R_2, m, n, R_3, R_4 and R_5 are as defined in Claim 20, which comprises

a) reacting a compound of the formula II



(II)

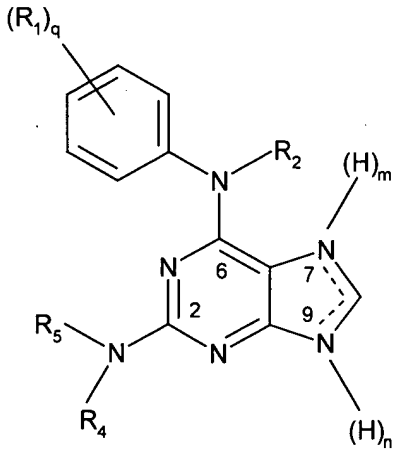
in which Y is a suitable leaving group and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present therein, if necessary, being protected by easily detachable protective groups, with an amine of the formula III



(III)

in which the substituents are as defined above for compounds of the formula I, free functional groups present therein, if necessary, being protected by easily detachable protective groups and detaching the protective groups present, or

b) reacting a compound of the formula V



(V)

in which the substituents and symbols are as defined above for compounds of the formula I, free functional groups present therein, if necessary, being protected by easily detachable protective groups,

with a compound of the formula VI

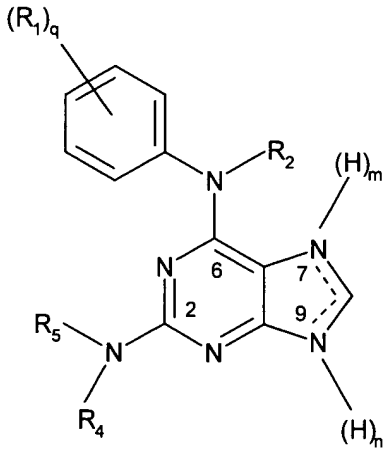
R_3-Y (VI)

in which Y is a suitable leaving group and

R_3 is as defined above for compounds of the formula I, free functional groups present in R_3, if necessary, being protected by easily detachable protective groups, and detaching the protective groups present,

and, after carrying out process a) or b), if necessary for the preparation of a salt, converting a resulting free compound of the formula I into a salt or, if necessary for the preparation of a free compound, converting a resulting salt of a compound of the formula I into the free compound.

Claim 25. (Claim 16) A compound of the formula V



(V)

in which q is 1 to 5,

R_1 is halogen; lower alkyl; hydroxyl; lower alkanoyloxy; lower alkoxy which is unsubstituted or substituted by hydroxyl, lower alkoxy or carboxyl; a radical of the formula -O(-CH_2-CH_2-

$O)_t$-R_6, in which t is 2-5 and R_6 is hydrogen or lower alkyl; carboxyl; lower alkoxycarbonyl; piperazin-1-yl-carbonyl; carbamoyl; N-lower alkyl-carbamoyl which is unsubstituted in the lower alkyl moiety or substituted by hydroxyl or amino; N,N-di-lower alkyl-carbamoyl; cyano; nitro; amino; lower alkanoylamino; lower alkylamino; N,N-di-lower alkylamino; aminosulfonyl or trifluoromethyl, where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

m and n are each 0 or 1, where m is 0 if n is 1 and m is 1 if n is 0,

dashed lines represent a single bond which is located between N-7 and C-8 if m is 0 and located between C-8 and N-9 if m is 1, and

a) R_4 is hydrogen; amino; phenylamino; lower alkylamino; hydroxyl; phenoxy; lower alkoxy; an acyl radical of the part formula Z-C(=W)-, in which W is oxygen, sulfur or imino and Z is R^o, R^o-O- or an amino group of the formula $R_7(R_8)N$-, in which R^o in each case is C_1-C_4alkyl, hydroxy-C_2-C_{14}alkyl, cyano-C_1-C_4alkyl, carboxy-C_1-C_4alkyl, C_1-C_4alkoxycarbonyl-C_1-C_4alkyl, C_3-C_7alkenyl or phenyl and R_7 and R_8 independently of one another are each hydrogen, lower alkyl, ω-amino-lower alkyl, lower alkylsulfonyl or phenyl;

an aliphatic hydrocarbon radical having not more than 29 C atoms, which is substituted by halogen, amino, lower alkylamino, ω-amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, mercapto, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino,

cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkysulfonyl-amino, glycylamino, alanyl-amino, phenylalanylamino, prolylamino, valylamino, leucylamino, isoleucylamino, serylamino, threonylamino, cysteinylamino, methionylamino, tyrosylamino, tryptophanylamino, arginylamino, histidylamino, lysylamino, glutamylamino, glutaminylamino, asparagylamino, asparaginylamino or phenylglycylamino;

benzyl; 2-phenyl-ethyl; 3-aminomethyl-benzyl; (1-hydroxy-cyclohex-1-yl)-methyl; (2-amino-3,5,5-trimethyl-cyclopentyl)-methyl; 1-[N-(1-carboxy-2-phenyl-ethyl)-carbamoyl]-2-carbamoyl-eth-1-yl; 1-carbamoyl-1-phenyl-methyl; 1-carbamoyl-2-(4-hydroxyl-phenyl)-eth-1-yl; 1-carbamoyl-2-phenyl-eth-1-yl; 2-amino-1,2-diphenyl-eth-1-yl; 2-benzyloxycarbonyl-1-carbamoyl-eth-1-yl; 3-benzyloxycarbonyl-1-carbamoyl-prop-1-yl; 1-adamantyl-2-amino-prop-1-yl; 1-adamantyl-1-amino-prop-2-yl; (2-furyl)-methyl; (2-tetrahydrofuryl)-methyl; 2-pyrid-2-yl-ethyl; 2-piperidino-ethyl;

2-(morpholin-4-yl)-ethyl; 2-(3-indolyl)-ethyl; 2-(4-imidazolyl)-ethyl; 1-carbamoyl-2-(β-indolyl)-eth-1-yl; 1-carbamoyl-2-imidazol-4-yl-eth-1-yl; 1-carbamoyl-2-indol-3-yl-eth-1-yl; 3-amino-methyl-oxetan-3-yl-methyl; 1-(acetoxy-imino)-1-(4-amino-2-oxa-1,3-diazol-5-yl)-methyl; 2-amino-cyclohex-1-yl; 3-amino-cyclohex-1-yl; 2-aminomethyl-3,3,5-tirmethyl-cyclopent-1-yl; 3-amino-adamantan-1-yl; 2-carbamoyl-bicyclo[2.2.1]hept-5-en-3-yl; 2-carbamoyl-cyclohex-1-yl; 9-amino-spiro[4.4]non-1-yl;

5-amino-2-oxa-1,3-diazol-4-yl; 4-amino-thien-3-yl; 3-carbamoyl-5-(3-[2,4-dichloro-phenyl]-1-oxo-prop-2-en-1-yl)-1,2-thiazol-4-yl; 3-carbamoyl-5-(3,-[4-trifluoro-phenyl]-1-oxo-prop-2-en-1-yl)-1,2-thiazol-4-yl; 4-amino-2-(4-carboxy-butyl)-tetrahydrothiophen-3-yl; 3-amino-2-(4-carboxy-butyl)-tetrahydrothiophen-4-yl; [1,2,5]oxadiazolo[3,4-b](6-amino-pyrazin-5-yl); 2,5'-diacetyl-3-amino-thieno[2,3-b]thiophen-4'-yl or 3-amino-2,5'-dipivaloyl-thieno[2,3-b]thiophen-4'-yl, and

R_5, independently of R_4, is as defined above for R_4, with the exception of hydrogen and an aliphatic hydrocarbon radical having not more than 29 C atoms, which is substituted by hydroxyl, or

b) R_4 and R_5 together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxy-methyl-butane-1,4-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl or 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl, it being possible for free functional groups present therein to be protected by easily detachable protective groups.

Claim 26. (Claim 17) A compound of the formula I according to claim 20 selected from the group consisting of

6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-*9H*-purine,

6-(4-fluoro-phenyl-amino)-9-ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-*9H*-purine,

9-ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-6-(4-trifluoromethyl-phenyl-amino)-*9H*-purine,

2-(*trans*-4-amino-cyclohexyl-amino)-9-ethyl-6-(4-trifluoromethyl-phenyl-amino)-*9H*-purine,

6-(3-fluoro-phenyl-amino)-9-ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-*9H*-purine,

6-(3-cyano-phenyl-amino)-9-ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-*9H*-purine,

2-(*cis*-3-amino-cyclohexyl-amino)-6-(3-chloro-phenyl-amino)-9-ethyl-*9H*-purine, and

6-(4-fluoro-phenyl-amino)-2-(2-hydroxy-ethyl-amino)-9-isopropyl-*9H*-purine

or a pharmaceutically acceptable salt of such a compound.

Claim 27. (Claim 18) A pharmaceutical composition comprising a pharmaceutically acceptable carrier or diluent and a therapeutically effective amount of a compound of the formula I according to claim 20, or a pharmaceutically acceptable salt thereof.

Claim 28. (Claim 19) A method of treating tumors which are responsive to the inhibition of $p34^{cdc2}$/cyclin B^{cdc13} kinase, comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound of formula I according to claim 20, or a pharmaceutically acceptable salt thereof.